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FULL SEARCH INITIATED 12:58:11 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1365 TO ITERATE
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100.0% PROCESSED 1365 ITERATIONS 32 ANSWERS SEARCH TIME: 00.00.01

L2 32 SEA SSS FUL L1

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COST IN U.S. DOLLARS
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FILE COVERS 1907 - 18 Nov 2010 VOL 153 ISS 21 FILE LAST UPDATED: 17 Nov 2010 (20101117/ED) REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2010 USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2010

CAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2010.

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=> s 12 and py<2004 4 L2 24051963 PY<2004 L3 1 L2 AND PY<2004

=> d 1 ibib abs hitstr

THE ESTIMATED COST FOR THIS REQUEST IS 5.81 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y) /N:v

L3 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 2003:532638 CAPLUS

DOCUMENT NUMBER: 139:101146

TITLE: Preparation of benzyl or heterocyclylmethyl phenyl or

heterocyclyl sulfones as β-amyloid protein

production/secretion inhibitors INVENTOR(S): Yasukochi, Takanori; Ito, Masayuki; Kubota, Hideki;

Miyauchi, Satoshi; Saito, Masaki

PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 540 pp. CODEN: PIXXD2

DOCUMENT TYPE: Pat.ent. Japanese

FAMILY ACC. NUM. COUNT: 1

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PATENT INFORMATION:

PATENT NO.										APPLICATION NO.								
									WO 2002-JP13792									
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
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		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,	
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		UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	zw							
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IORITY APPLN. INFO.:				.:					JP 2001-395701 WO 2002-JP13792									
										US 2	004-	5001	56		A3 2	0040	625	

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 139:101146 Novel compds. having various substituents as represented by the following general formula R1(R2)(R3)C-X-R4, salts thereof, and solvates of the same [wherein X = S, SO, SO2; R1 = CR5R6R7, NR8R9, X1R10, X2R11; wherein R5, R6, R7 = halo, cvano, NO2, -Q51-Q52-Q53-Q54; Q51, Q53 = single bond, CO, S(0), SO_2 , COCO, COC(S), C(S)C(S); $OS_2 = single bond, O, ON(AS1)$, ON(COA51), N(A51), N(COA51), N(CO2A51), N(CON(A51)(A52)), N(OA51), N(NA51A52), N(A51)N(A52), N(COA51)N(A52), N(A51)-O, N(COA51)-O, S, N:N, C(A51):N, C(A51):N-O, C(A51):N-N(A52), N:C(A51), O-N:C(A51), N(A51)-N:C(A52), C(:NA51)-N(A52); Q54 = A53, Q53, Q53, Q54, Q54, Q53, Q54, Q53, Q54, Q54, Q55, Q54, Q55, Q54, Q55, Q54, Q55, NA54-OA53, NA55-N(A53)(A54), O-N(A53)(A54); wherein A51, A52, A53 = H, (un)substituted hydrocarbyl or heterocyclyl; R2, R3, R4, R8, R9, R10, R11 = -051-052-053-054 defined in R5-R7; X1 = 0, S; X2 = S0, S02; or R1 and R2 or R3 and R4 are combined together to form (un)substituted cyclohydrocarbyl or heterocyclyl] are prepared These compds. have an effect of inhibiting the production/secretion of a β-amyloid protein and are useful for the prevention or treatment of diseases caused by unusual production/secretion of β-amyloid, in particular Alzheimer's disease or Down's syndrome. Thus, a solution of 100 mg 2,5-dichloro-4-[(4-chlorophenylthio)-(2,5-difluorophenyl)methyl]pyridine

(preparation given) and 200 μL morpholine in 1.0 mL 1,4-dioxane was stirred at 100° for 2 days to give 4-[5-chloro-4-[(4-chlorophenylthio)-(2,5difluorophenyl)methyl)pyridin-2-yl|morpholine which (90 mg) was dissolved

TOh 18/11/2010 in 12 mL MeOH, treated with 60 mg ammonium molybdate tetrahydrate [(NH4)6Mo7024,4H2O] and 6 mL 30% H2O2, and stirred for 8 h to give 83% 4-[5-chloro-4-[(4-chlorophenylsulfonyl)-(2,5-difluorophenyl)methyl]pyridin-2-yl]morpholine (I). I in vitro glioma cell (H4 cell) expressing human β -amyloid protein precursor protein gene (APP751 gene) with EC50 of $550~\mathrm{nM}.$

558465-25-1P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reaqent); USES (Uses) (preparation of benzyl or heterocyclylmethyl Ph or heterocyclyl sulfones as B-amvloid protein production/secretion inhibitors for treatment or

preparation of Alzheimer's disease or Down's syndrome)
RN 558465-25-1 CAPLUS
CN Pyridine, 2-chloro-5-[[(3-chloro-4-pyridiny1)(2,5-difluoropheny1)methy1]thio]- (CA INDEX NAME)

IT 558465-26-2P 558465-27-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzyl or heterocyclylmethyl Ph or heterocyclyl sulfones as β -amyloid protein production/secretion inhibitors for treatment or preparation of Alzheimer's disease or Down's syndrome)

RN 558465-26-2 CAPLUS

CN Pyridine, 2-chloro-5-[[(3-chloro-4-pyridiny1)(2,5-difluoropheny1)methy1]sulfony1]- (CA INDEX NAME)

RN 558465-27-3 CAPLUS

CN Pyridine, 5-[[(3-chloro-4-pyridinyl)(2,5-difluorophenyl)methyl]sulfonyl]-2fluoro- (CA INDEX NAME)

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OS.CITING REF COUNT:

6

- REFERENCE COUNT:
- THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)
- 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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